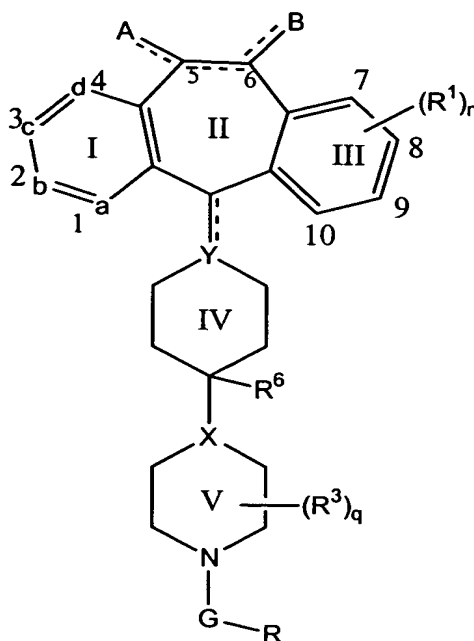


## CLAIMS

What is claimed is:

1. A compound represented by the structural formula:



Formula I

wherein:

X is CH or N;

Y is selected from the group consisting of C, CH or N, and when Y is CH or N, the optional covalent bond (represented by the dotted line between rings II and IV) is absent, and when Y is C, that optional covalent bond is present;

G is  $(\text{CHR}^4)_n$  or  $\text{C}(=\text{O})$ ;

R is selected from the group consisting of alkyl,  $-\text{OR}^4$ , aryl, heteroaryl, heteroaryloxy, heterocyclyl, heterocyclyloxy, cycloalkyl, cycloalkyloxy,  $-\text{N}(\text{R}^4)_2$  where the two  $\text{R}^4$  moieties can be the same or different,  $-(\text{CH}_2)_n$ -aryl,  $-(\text{CH}_2)_n$ -heteroaryl,  $-(\text{CH}_2)_n$ -heterocyclyl and  $-(\text{CH}_2)_n$ -cycloalkyl, wherein each of said alkyl, aryl, heteroaryl, heterocyclyl and cycloalkyl can be unsubstituted or optionally independently substituted with one or more moieties which can be the same or different, each moiety being independently selected from the group consisting of alkyl, alkyl, aryl, heteroaryl,  $-\text{OR}^4$ , heterocyclyl, heterocyclyloxy, cycloalkyl, cycloalkyloxy,  $-\text{N}(\text{R}^4)_2$  where the two  $\text{R}^4$

groups can be the same or different,  $-\text{C}(\text{O})\text{R}^4$ , and  $-\text{C}(\text{O})\text{N}(\text{R}^4)_2$  where the two  $\text{R}^4$  moieties can be the same or different;

one of a, b, c and d in ring I represents N or  $\text{N}^+\text{O}^-$ , and the remaining a, b, c and d positions represent  $\text{C}(\text{R}^1)$  or  $\text{C}(\text{R}^2)$ ; or

each of a, b, c, and d are independently selected from  $\text{C}(\text{R}^1)$  or  $\text{C}(\text{R}^2)$ ;

$\text{R}^1$  and  $\text{R}^2$  can be the same or different, each being independently selected from the group consisting of:

H, halo,  $-\text{CF}_3$ ,  $-\text{OR}^4$ ,  $-\text{C}(\text{O})\text{R}^4$ ,  $-\text{OCF}_3$ ,  $-\text{SR}^4$ ,  $-\text{S}(\text{O})_n\text{R}^5$ ,  
benzotriazol-1-yloxy, tetrazol-5-ylthio, alkynyl,  
alkenyl wherein said alkenyl can be unsubstituted or optionally substituted with halo,  $-\text{OR}^4$  or  $-\text{C}(\text{O})\text{OR}^4$ ,  
alkyl wherein said alkyl can be unsubstituted or optionally substituted with halo,  $-\text{OR}^4$  or  $-\text{C}(\text{O})\text{OR}^4$ ,  
 $-\text{N}(\text{R}^4)_2$  where the two  $\text{R}^4$  moieties can be the same or different,  
 $-\text{NO}_2$ ,  $-\text{OC}(\text{O})\text{R}^5$ ,  $-\text{C}(\text{O})\text{OR}^4$ ,  $-\text{CN}$ ,  $-\text{N}(\text{R}^4)\text{C}(\text{O})\text{OR}^4$ ,  
 $-\text{SR}^5\text{C}(\text{O})\text{OR}^4$ , and  $-\text{SR}^5\text{N}(\text{R}^4)_2$  (provided that  $\text{R}^5$  in  $-\text{SR}^5\text{N}(\text{R}^4)_2$  is not  $-\text{CH}_2-$ ) wherein each  $\text{R}^4$  is independently selected;

the dotted line between carbon atoms 5 and 6 represents an optional bond, such that when a double bond is present, A and B can be the same or different, each being independently selected from the group consisting of  $-\text{R}^4$ , halo,  $-\text{OR}^4$ ,  $-\text{C}(\text{O})\text{OR}^4$ ,  $-\text{OC}(\text{O})\text{OR}^4$  or  $-\text{OC}(\text{O})\text{R}^4$ , and when no double bond is present between carbon atoms 5 and 6, A and B can be the same or different, each being independently selected from the group consisting of  $(\text{H}_2)$ ,  $-(\text{OR}^5)_2$ , (H and halo), (dihalo), (H and  $\text{R}^5$ ),  $(\text{R}^5)_2$ , (H and  $-\text{OC}(\text{O})\text{R}^4$ ), (H and  $-\text{OR}^4$ ),  $(=\text{O})$ , and (H,  $(=\text{NOR}^4)$  or  $(-\text{O}-(\text{CH}_2)_p-\text{O}-)$  wherein p is 2, 3 or 4);

$\text{R}^3$  is selected from the group consisting of H, alkyl, alkoxy and alkoxyalkyl;

$\text{R}^4$  is selected from the group consisting of H, alkyl, aryl and aralkyl;

$\text{R}^5$  is alkyl or aryl;

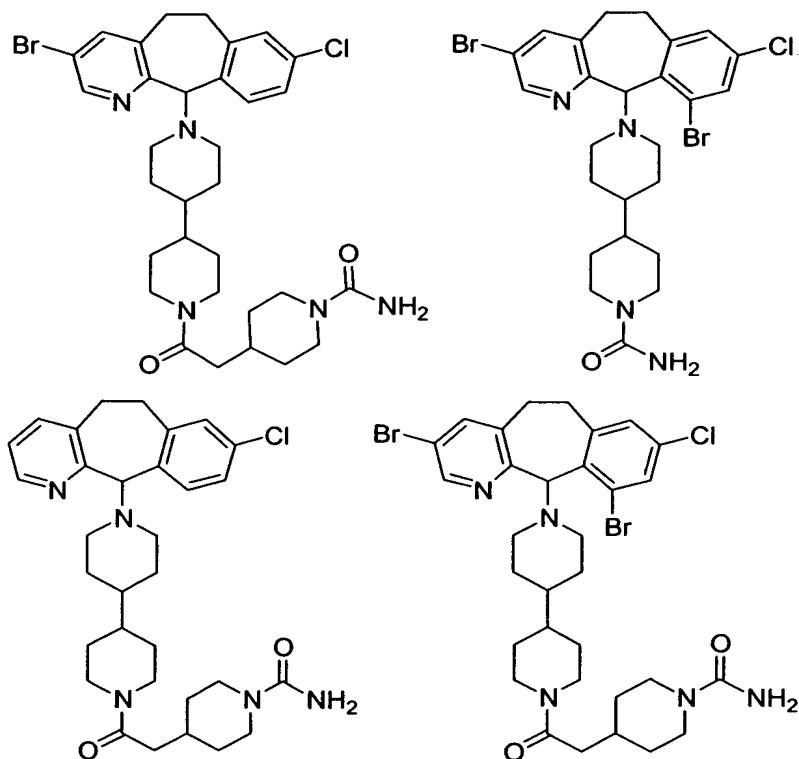
$\text{R}^6$  is H or alkyl;

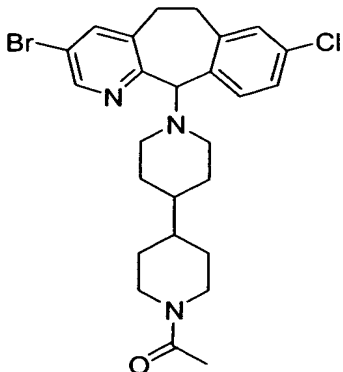
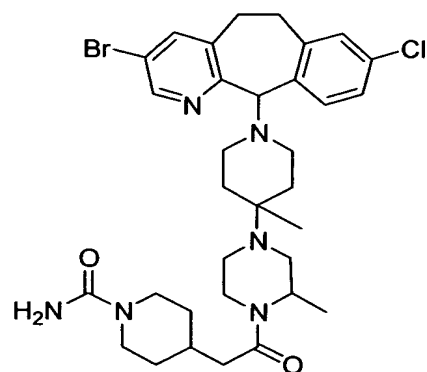
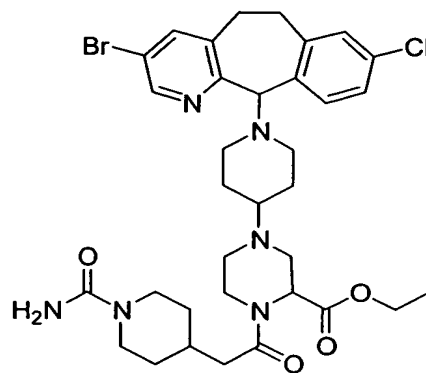
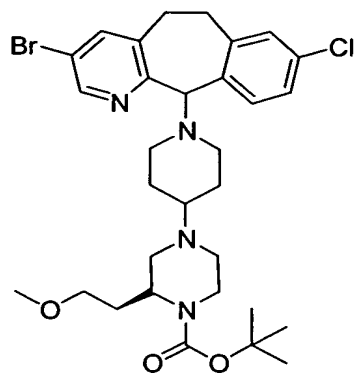
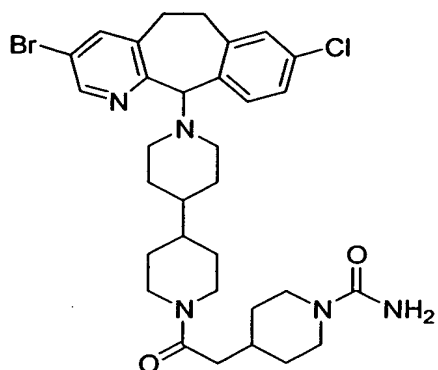
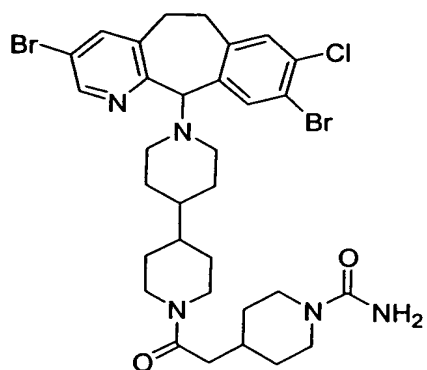
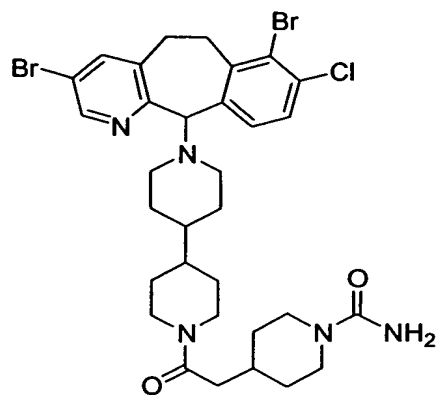
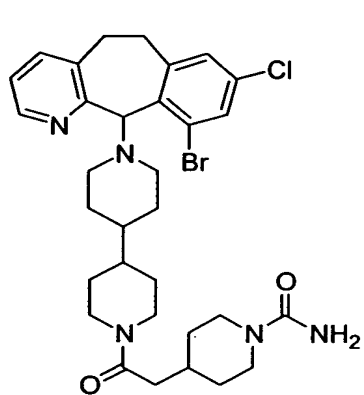
n is a number from 1-4; and

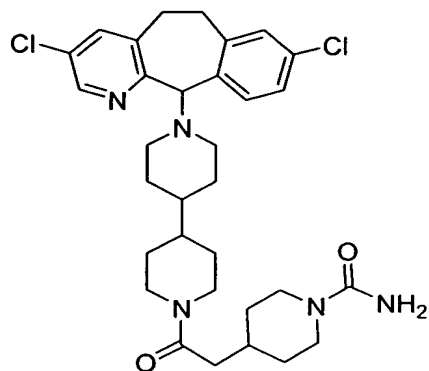
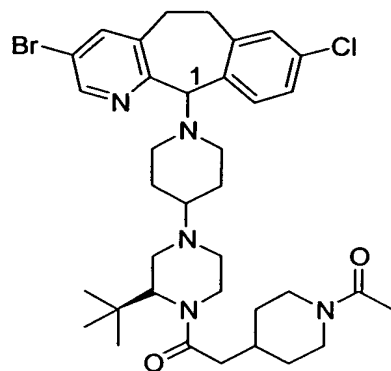
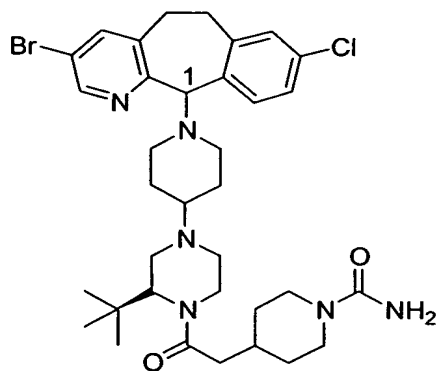
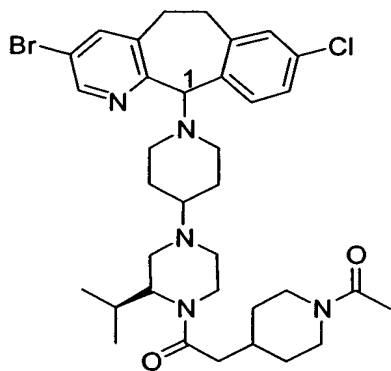
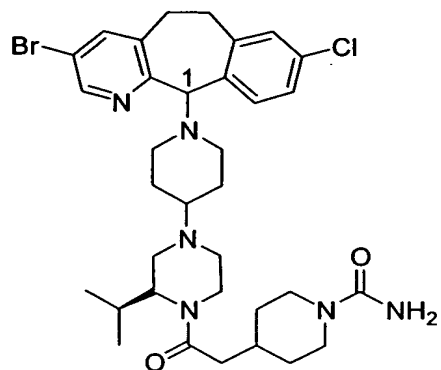
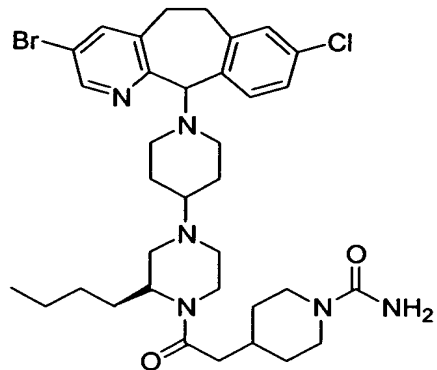
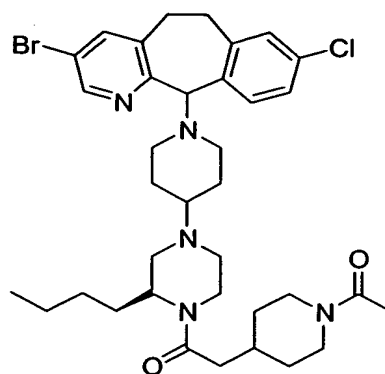
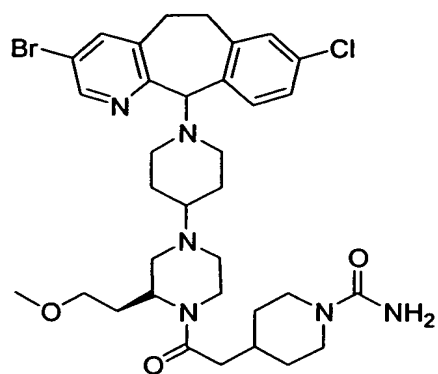
q is a number from 1-8.

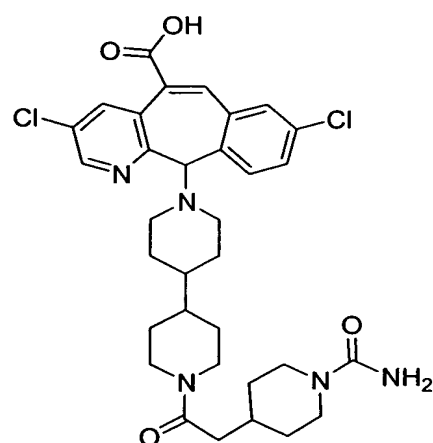
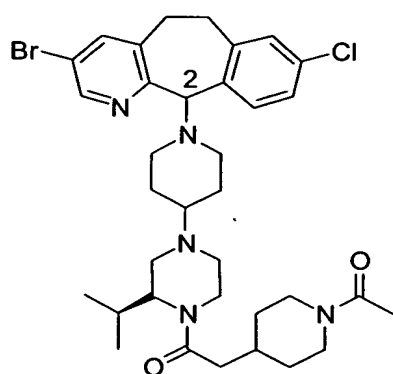
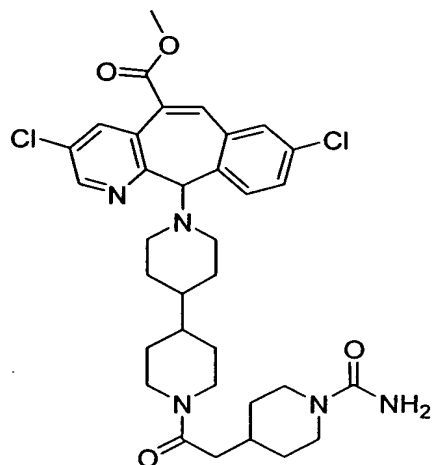
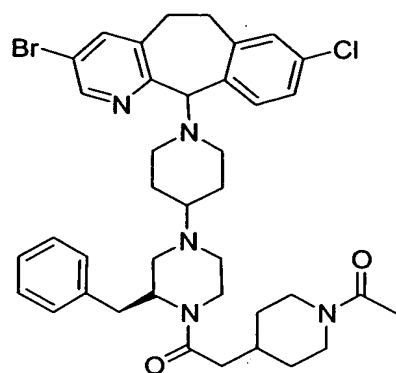
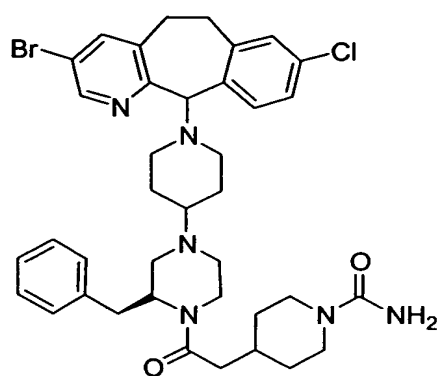
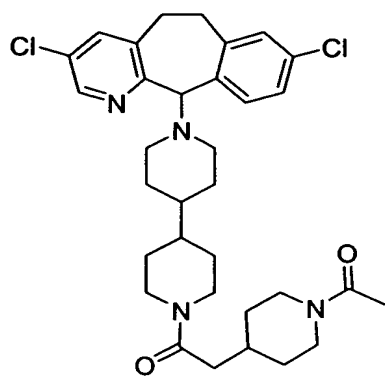
2. The compound of claim 1, wherein position a in ring I is N or N<sup>+</sup>O<sup>-</sup>.
3. The compound of claim 1, wherein A and B in ring II are both H<sub>2</sub>, and the C5-C6 bridge is unsubstituted.
4. The compound of claim 1, wherein R<sup>1</sup> and R<sup>2</sup> is each independently H or halo.
5. The compound of claim 1, wherein X is CH.
6. The compound of claim 1, wherein X is N.
7. The compound of claim 1, wherein Y is N.
8. The compound of claim 1, wherein Y is CH.
9. The compound of claim 1, wherein R is selected from the group consisting of unsubstituted alkyl, alkyl substituted with a heterocyclyl, -NH<sub>2</sub>, and t-butoxy, wherein said heterocyclyl can be unsubstituted or optionally substituted with one or more moieties selected from the group consisting of -C(O)alkyl, and -C(O)N(alkyl)<sub>2</sub> where the two alkyl moieties can be the same or different.
10. The compound of claim 1, wherein R<sup>3</sup> is H and q is 8.
11. The compound of claim 1, wherein R<sup>3</sup> is alkyl and q is 1.
12. The compound of claim 1, wherein R<sup>3</sup> is alkoxyalkyl or aralkyl, and q is 1.
13. The compound of claim 1, wherein R<sup>4</sup> is H, alkyl or aryl.
14. The compound of claim 1, wherein R<sup>5</sup> is alkyl.
15. The compound of claim 1, wherein R<sup>6</sup> is H.
16. The compound of claim 2, wherein position a is N.
17. The compound of claim 1, wherein position a is N and positions b, c and d are all the same and are C(R<sup>1</sup>).
18. The compound of claim 17, wherein R<sup>1</sup> and R<sup>2</sup> are the same or different, each being independently selected from H, Br, F and Cl.
19. The compound of claim 12, wherein R<sup>3</sup> is selected from the group consisting of n-butyl, tert-butyl, 2-(methoxy)ethyl and benzyl, and q is 1.
20. The compound of claim 13, wherein R<sup>4</sup> is H.
21. The compound of claim 14, wherein R<sup>5</sup> is methyl.

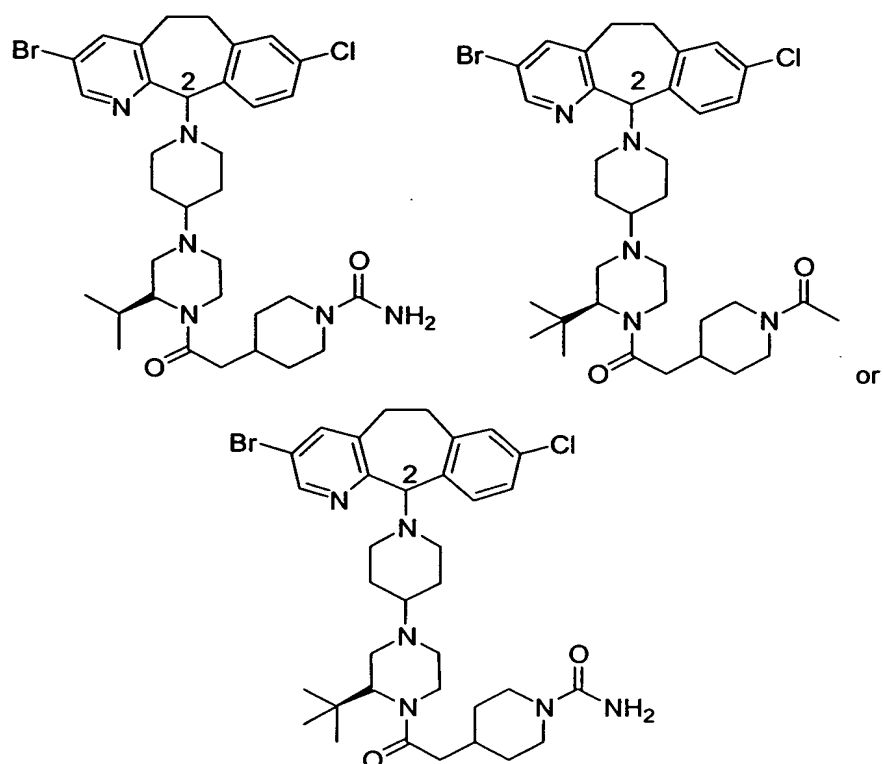
22. The compound of claim 9, wherein R is selected from the group consisting of unsubstituted alkyl, alkyl substituted with a heterocyclyl, -NH<sub>2</sub>, and t-butoxy, wherein said heterocyclyl can be unsubstituted or optionally substituted with one or more moieties selected from the group consisting of -C(O)alkyl, and -C(O)N(alkyl)<sub>2</sub> where the two alkyl moieties can be the same or different.
23. The compound of claim 1, wherein n, q and p all equal 1.
24. The compound of claim 1, wherein the rings I and III are: (i) 3-Br-8-Cl-10-Br-substituted; (ii) 3-Br-7-Br-8-Cl-substituted; (iii) 3-Br-8-Cl-substituted; (iv) 3-Cl-8-Cl-substituted; (v) 3-F-8-Cl-substituted; (vi) 8-Cl-substituted; (vii) 10-Cl-substituted; (viii) 3-Cl-substituted; (ix) 3-Br-substituted; or (x) 3-F-substituted.
25. A compound of the formula:











or a pharmaceutically acceptable salt or solvate thereof.

26. A method of inhibiting type 3 17 $\beta$ -hydroxysteroid dehydrogenase, comprising administering a therapeutically effective amount of at least one compound of claim 1 to a patient in need of such inhibition.

27. A method of treating or preventing an androgen dependent disease, which comprises administering to a patient in need thereof a therapeutically effective amount of at least one compound of Claim 1, or a pharmaceutically acceptable salt or solvate thereof.

28. The method of claim 27, wherein said wherein said androgen dependent disease is prostate cancer, benign prostatic hyperplasia, prostatic intraepithelial neoplasia, hirsutism, acne, androgenic alopecia, or polycystic ovary syndrome.

29. A method of treating or preventing androgen-dependent diseases comprising administering to a mammal in need thereof a therapeutically effective amount of at least one compound of claim 1 in combination with at least one anti-androgenic agent.

30. The method of claim 29, wherein said anti-androgenic agent is selected from the group consisting of inhibitors of 5 $\alpha$ -reductase type 1 and/or type 2,



flutamide, nicalutamide, bicalutamide, LHRH agonists, LHRH antagonists, inhibitors of  $17\alpha$ -hydroxylase/C17-20 lyase, and inhibitors of  $17\beta$ -Hydroxysteroid dehydrogenase type 5 and  $17\beta$ -Hydroxysteroid dehydrogenase/ $17\beta$ -oxidoreductase isoenzymes.

31. A method of treating or preventing benign prostatic hyperplasia comprising administering to a patient in need thereof a therapeutically effective amount of a composition comprising at least one compound of claim 1 in combination or association with at least one agent useful in the treatment or prevention of benign prostatic hyperplasia.

32. The method of claim 31 wherein said agent useful in the treatment or prevention of benign prostatic hyperplasia is an  $\alpha$ -1 adrenergic antagonists selected from tamsulosin or terazosin.

33. A method of treating or preventing hair loss, comprising administering to a patient in need thereof a composition comprising a therapeutically effective amount of at least one compound of claim 1 in combination or association with at least one anti-alopecia agent.

34. The method of claim 33 wherein the anti-alopecia agent is a potassium channel agonist or a  $5\alpha$ -reductase inhibitor.

35. The method of claim 34 wherein the potassium channel agonist is minoxidil or KC-516.

36. The method of claim 34 wherein the  $5\alpha$ -reductase inhibitor is finasteride or dutasteride.

37. A method of treating or preventing proliferative diseases comprising administering, concurrently or sequentially, to a patient in need of such treatment, a composition comprising therapeutically effective amount of at least one compound of claim 1 in combination or association with an effective amount of at least one therapeutic method selected from the group consisting of a chemotherapeutic agent, biological agent, surgery and radiation therapy.

38. The method of claim 37 wherein said proliferative disease is selected from the group consisting of lung cancer, pancreatic cancer, colon cancer, renal cancer, myeloid leukemia, thyroid follicular cancer, myelodysplastic syndrome (MDS), bladder carcinoma, epidermal carcinoma, melanoma, breast cancer, ovarian cancer and prostate cancer.

39. A pharmaceutical composition comprising a therapeutically effective amount of at least one compound of claim 1 in combination with at least one pharmaceutically acceptable carrier.

40. The pharmaceutical composition of claim 39, additionally comprising one or more agents selected from the group consisting of inhibitors of  $5\alpha$ -reductase type 1, inhibitors of  $5\alpha$ -reductase type 2, flutamide, nicalutamide, bicalutamide, LHRH agonists, LHRH antagonists, inhibitors of  $17\alpha$ -hydroxylase/C17-20 lyase, inhibitors of  $17\beta$ -Hydroxysteroid dehydrogenase type 5,  $17\beta$ -Hydroxysteroid dehydrogenase/ $17\beta$ -oxidoreductase isoenzymes, tamsulosin, terazosin, a potassium channel agonist, a  $5\alpha$ -reductase inhibitor, a chemotherapeutic agent and a biological agent, optionally in association with at least one method selected from surgery and radiation therapy.

41. A compound of claim 1 in purified form.